2008725-0051
U.S.S.N. 10/579,369
Foreign Language Reference Abstracts for IDS

Appendix A

(**B5**)-CN1205175 English Language Abstract
A persimmon tea for softening blood vessel, preventing and treating arteriosclerosis, cancer, hepatitis, gastritis, nephritis, swelling and coronary heart disease, and health care is prepared from high-quality persimmon leaves through washing, removing impurities, drying, heating, drying in air, parching and mixing, and features good enjoyment to drink it, and health-care and medical function.

(**B6**)-CN-1304396 English Language Title
Derivative of Canthardin and Preparation Method

(**B8**)-CN1415596 English Language Abstract
An amine derivative for resisting high pressure of lung artery, its isomer, racemate, optical isomer and salt for medicines, its amide or ester, the medical composition containing them, its preparingprocess, and its application in preparing medicines to prevent and cure anoxia, chronic bronchitis, pneumonectasis, pulmonary tuberculosis, etc are disclosed

(**B9**)-CN1687072 English Language Abstract
The invention discloses two Cantharidin derivs. I (R1 = COOH; R2 = CH2COOH, CH2OH). The two compds. are white solid, and have effects on inhibiting growth of tumor cells and activity of protein phosphatase 2A (PP2A). The invention also provides the method for preparing the two compds.

(**B10**)-DE3105850 English Language Abstract
Tryptamine derivs. I (R = Me, Et; R1, R2 = acyl moiety of a C9-15 carboxylic acid; R3 = H, Me; R4 = H, halo), useful in treating tumors of sex hormone-dependent organs, tissues, and(or) cells, were prepared O-Methylating 3,4-Me(O2N)C6H3OH with Me2SO4 in MeOH containing K2CO3 gave 90-95% 3,4-Me(O2N)C6H3OMe which was reduced and cyclized by catalytic hydrogenation (e.g., over Raney Ni) to give .apprx.50% 5-methoxyindole. This was cyanomethylated (successive HCHO and NaCN treatments) to give 3-(cyanomethyl)-5-methoxyindole which was reduced with BH4-, AlH3, or LiAlH4 to give 5-methoxytryptamine. This was acetylated with Ac2O to give II (R5 = H) which was acylated with Me(CH2)10COCl to give .apprx.95% diacyl derivative II [R5 = Me(CH2)10CO]. At 6 μg/mL II [R5 = Me(CH2)10CO], the prostate of a hamster gained 29.2 ± 7.3 mg, whereas when II (R5 = H) was used, the weight gain was 36.6 ± 7.5 mg, vs. 59.5 ± 11.2 for a control

(**B14**)-FR1238756 English Language Abstract
Deserpidines substituted in the 10-, 11-, and 12-positions were prepd. starting from appropriately substituted tryptamines. 1β-Carboxymethyl-2β -methoxycarbonyl-3α-methoxy-4β-acetoxy-6β-formylcyclohexane (I), [α]20D 42.5° (C5H5N), was converted to its Me ester (II) by CH2N2. 6-Butoxytryptamine picrate was converted to the free base (III). II from 3.6 g. I, in 20 cc. CH2Cl2, was added to 2 g. III to give the Schiff base which after 1 hr. was treated directly with 1 g. KBH4 in 20 cc. MeOH, and refluxed 1 hr. to give 2.69 g.11-butoxy-18β-hydroxy-17α-methoxy-16β-methoxycarbonyl-3-

oxo-2,3-seco-20α-yohimban (IV), m. 212°, [α]20D 30° (c 0.2, C5H5N). IV (2.69 g.) in 3 cc. C5H5N and 3 cc. Ac2O gave 2.35 g. of the 18β-acetoxy deriv. (V), m. 159°, [α]20D -19° (c 0.5, C5H5N). V (4.9 g.) refluxed 2 hrs. in 13 cc. POCl3 gave the quaternary base 18β-acetoxy-11-butoxy-17α-methoxy-16β-methoxycarbonyl-Δ3-20α-yohimbene (VI). VI (150 mg.) was reduced directly in aq. MeOH with KBH4 to 50 mg. 18β-acetoxy-11-butoxy-17α-methoxy-16β-methoxycarbonyl-3α,20α-yohimban (VII), m. 128°, [α]20D -58° (c 0.5, C5H5N); infrared spectrum confirmed the 3α configuration. VI (2 g.) in 20 cc. Me2CO was treated with 20 cc. 6.5% HClO4 and 0.2 cc. satd. FeCl5 soln., followed by 4 g. Zn dust, to give 500 mg. 18β-acetoxy-11-butoxy-17α-methoxy-16β-methoxycarbonyl-3β,20α-yohimban (VIII), m. 217°, [α]20D -111° (c 0.25, C5H5N). VIII (1.7 g.) was refluxed 4 hrs. in 50 cc. MeOH with 850 mg. KBH4 to give 1.9 g. 11-butoxy-18β-hydroxy-17α-methoxy-16β-methoxycarbonyl-3β,20α-yohimban (IX), which was heated 19 hrs. at 75° with 3.8 g. 3,4,5-trimethoxybenzoyl chloride in 17 cc. C5H5N to give a product crystd. as the HNO3 salt (730 mg.). The salt yielded 460 mg. 11-butoxydeserpidine (X), m. 206°, [α]20D -96° (c 0.25, CHCl3). An unstable form of X m. 162° and resolidified. Analogs of X were similarly prepd., starting from other tryptamines, via the corresponding intermediates: (substituent of final deserpidine, phys. consts. of compds. corresponding to III, IV, V, VI, VII, VIII, IX, X given) (rotations in C5H5N, c 0.25-.50 unless specified): 11-iso-PrO, m. 140° (acetate),- (amorphous), m. 110° ([α]20D - 15°), m. 190-200° (perchlorate), m. 260° ([α]20D -90°), m. 205° ([α]20D -115°), m. 150° ([α]20D -96°), m. 270° [[α]20D - 125° (CHCl3)]; 10-BuO, m. 212° (decompn.) (picrate), m. 158° ([α]20D 44°), -(amorphous),-(amorphous perchlorate), m. 142° ([α]20D -58°), m. 278° ([α]20D -115°), m. about 165°, m. 210° ([α]20D -132° (CHCl3)]; 12-BuO, m. 94.5° [acetate m. 138° (decompn.)], m. 175-80° ([α]20D 28°), m. 150° ([α]20D - 18°), m. 245°, m. 190° ([α]20D - 157°), m. 260° ([α]20D - 126°), m. 240°, m. 209° [[α]20D - 120° (CHCl3)]; 11-PhCH2O, m. 250° (picrate), m. 224° ([α]20D 22°), m. 175° ([α]20D -15°), m. 187° ([α]20D 35°), m. 180° ([αl20D -82°), m. 246° ([α]20D -102°), m. 185° ([α]20D -94°), m. 170° [[α]20D -100° (CHCl3)]. The products had sedative and hypotensive properties modified relative to reserpine.

(**B16**)-FR2879601 English Language Abstract

3-Ureidophenylboronic acid derivs. 3-(R1NHCONR)C6H4B(OR2)2 [R = H, C1-12 alkyl, optionally containing S, O, N chain heteroatoms, C3-12 cycloalkyl, heterocyclyl; R1 = C1-12 alkyl, optionally containing S, O, N chain heteroatoms, C3-12 cycloalkyl, heterocyclyl, (hetero)aryl, aralkyl, 9-fluorenylmethyl; R2 = H, C2-4 organyl, preferably R2 = H], useful as intermediates for preparation of α-amino-3'-ureido-1,1'-biphenyl-4- carboxylic acids as potential inhibitors of PPARγ receptors (no data), were prepared by two-step synthesis comprising condensation of 3-bromo-N-R1-anilines with isocyanates or carbamates with subsequent metalation by R3Li or R4MgX and boronation by borate esters. In an example, reaction of 1.569 mol of 3-bromo-N-methylaniline with 1.046 mol of Et (2-naphthalenyl)carbamate in 2 L of THF at 0°, activated by 1.151 mol of HSiCl3 gave 1-(3-bromophenyl)-1-methyl-3-(2-naphthalenyl)urea with 67% yield; this product (0.221 mol) was then treated by MeLi/tBuLi and 1.08 mol of (MeO)3B at -78°, yielding 82% of 3-[1-methyl-3-(2-naphthalenyl)ureido]phenylboronic acid.

(**B17**)-JP06025276 English Language Abstract

N-acylaminodeoxyhexopyranose derivs. [I; R = COYR2; R2 = H, (un)substituted aryl, heterocyclyl, or N-aryl-N-alkylcarbamoyl, CO group bonded to the NH group derived from an amino acid or its ester, ester of CO2H; R1 = H, (un)substituted aryl or heterocyclyl; Y = alkylene optionally having cycloalkylene group at the terminus, alkenylene, alkynylene, tricycloalkylene; R3, R4 = H, alkoxy, (un)substituted PhO; R5, R6 = H, OH; R7 = OH and R8, R9 = H, OH; R7R8 = lower α,ω-alkylenedioxy and R9 = H] are prepared by reaction of aminodeoxyhexopyranose derivs. I (R = H; R1, X, R3 - R9 = same as above) with R2YCO2H (R2, Y = same as above) or its reactive derivative N-acylamino sugar derivs. I show leukocyte production-increasing activity, protective effect against infection with bacteria and fungi, and

antitumor activity, and are used in combination with radiation therapy or chemotherapy using antibiotics or anticancer agents to offset immunodeficiency caused by these therapy (no data). Thus, Me 6-O-tosyl-α-D-glucopyranoside (II; X1 = p-tosyloxy) was heated with 4-phenylbutylamine in DMF at 90° for 7 h to give 69% II (X1 = 4-phenylbutylamino) which was acylated by octadecanoyl chloride in THF containing Et3N to give 73% II (N-octadecanoyl-4-phenylbutylamino).

(**B18**)-JP07052542 English Language Abstract

To hold a stable image in an environment of a daily life by employing one or more types of specific compound in a material containing a dye precursor and a reversible developer in which color tone change reversibly occurs in the precursor. CONSTITUTION:A reversible heat-sensitive recording material comprises a dye precursor which is colorless or light-colored, and a reversible developer in which color tone change reversibly occurs in the precursor due to a difference of cooling velocity after heating, wherein one or more types of compound represented by a formula is employed. Thus, the material having higher stability of an image is obtained. In the formula, A is a heterocycle containing at least one or more nitrogen atoms, such as pyrrolidine ring, piperidine ring, piperazine ring, pyrrole ring, imidazole ring, etc.; Ra is 1-12C bivalent group, and preferably alkylene group, which is not bonded directly to nitrogen atom in the A, and Rb is resinous hydrocarbon group.

(**B19**)-JP09301954 English Language Abstract

R1CR2R3CONH(CHR4)mHet [R1 = lower alkyl, lower alkenyl, cycloalkylalkyl; R2 = H, lower alkyl, cyano, halo; R3, R4 = H, lower alkyl; m, 1, 2; Het = (un)substituted 2-benzothiazolyl, 2-benzoxazolyl, 2- or 5-benzimidazolyl, 2-quinolyl, 1,4-benzodioxan-6-yl, etc.] are prepared Amidation of 2-cyano-3,3-dimethylbutanoic acid with (RS)-1-(6-fluoro-2-benzothiazolyl)ethylamine in the presence of 1,1-carbonyldiimidazole at room temperature for 2 h in THF gave 73% 2-cyano-N-[(RS)-1-(6-fluoro-2-benzothiazolyl)ethyl]-3,3-dimethylbutanamide, which at 500 ppm showed 100% antifungal activity against Pyricularia oryzae

(**B20**)-JP10077229 English Language Abstract

Motility-suppressing agents, useful for preventing senile dementia patients from wandering, contain 5-methoxytryptamine derivative I as an active ingredient. I is administered orally or parenterally. I, prepared by chlorinating DHA and treating the resulting acyl chloride with 5-methoxytryptamine, significantly suppressed motility of mice.

(**B21**)-JP10077267 English Language Abstract

The title compound (I), useful for treatment of poriomania in dementia patients, is prepared Amidation of docosahexaenoic acid with 5-methoxytryptamine gave 60% I, which at 100 mg/kg i.v. significantly suppressed spontaneous motility in mice.

(**B23**)-JP2001247539 English Language Abstract

The title compds. [I; Z = :N-O-CH(Y)-Ar2, :O, :N-O-M; Ar1, Ar2 = (un)substituted C6-12 aryl or C≤10 heteroaryl; R1, R2 = H, halo, C1-6 alkyl, C1-6 haloalkyl, cyano-C1-6 alkyl, C1-6 alkoxy-C1-6 alkyl, C1-6 alkylthio-C1-6 alkyl, C1-6 alkoxy-C1-6 alkoxy, C1-6 alkoxy, C1-6 alkylthio-C1-6 alkylsulfonyl, C1-6 alkylsulfinyl, C1-6 alkoxy-carbonyl, C1-6 alkylamino, di(C1-6 alkyl)amino, C1-6 haloalkoxy, C2-6-n-alkenyl, C2-6-n-alkenoxy, etc.; R3 = H, (un)substituted

C1-6 alkyl, C6-12 aryl, C≤10 heteroaryl, C2-6-n-alkenyl, C2-6-n-alkynyl, or C1-4 acyl; X = O, S, S, S(O), SO2, NH, NRa (wherein Ra = C1-4 alkyl); Y = H, cyano, halo, C1-6 alkyl, C1-4 alkoxy; m, n = 0, 1,2,3], enantiomers, stereoisomers, or cis or trans isomers thereof and their intermediates I (Z = :O, :N-O-M; wherein M = H, monobasic metal atom; other variables are = same as above) are prepared These compds. are useful as insecticides, agrochem. fungicides, herbicides, and aphicides. Thus, 1.7 g 1-(4-chlorophenyl)-2-methylthio-1-propanone oxime was dissolved in 18 mL MeCN containing 0.20 Na metal, allowed to react at 30-40° for 2-3 h, and treated with 0.3 g tetrabutylammonium iodide, followed by slowly adding dropwise 1.91 g m-phenoxybenzyl chloride, and the resulting mixture was gradually heated to 60-65° and allowed to react for 8-9 h to give (E)- and (Z)-1-(4-chlorophenyl)-2-methylthio-O-(3-phenoxybenzyl)-1-propanone oxime (II). (E)- and (Z)-II showed LC50 (50% lethal concentration) of <5 and >150 ppm, resp., against spinach army worm

(**B24**)-JP2002193923 English Language Abstract
Title compds. I [R1 = H, C1-6 alkyl; R2 = C1-6 alkylthio, carboxyphenoxy, alkoxycarbonylphenoxy; R3 = H, C1-6 alkyl; R4 = C14-20 alkyl; X = Q1, Q2; Y = O, NH, :N; A = O, (C1-6 alkyl-substituted) amide group; n = 0, 1] or their medically acceptable salts, useful for treatment of diabetic retinopathy, rheumatoid arthritis, solid tumor, etc., are prepared Thus, amidation of Me 5-amino-2-methylthiobenzoate with 6-(octadecyloxy)-2-naphthoic acid gave I [R1 = Me, R2 = MeS, R3 = H, XAR4 = 6-(octadecyloxy)-2-naphthyl, n = 0], which was hydrolyzed to give the corresponding carboxylic acid derivative The product inhibited binding of VEGF to its receptor with IC50 of 0.87 μM

(**B26**)-JP2003137780 English Language Abstract
Title agents contain (A) N-acyltryptamines I [R = C1-29 (un)saturated hydrocarbyl], their physiol. acceptable salts, hydrates, or solvents, or (B) fat-soluble fractions of Theobroma cacao, Annona reticulata, and A. cherimola as active ingredients. Thus, I (R = Me) at 30 mg/kg i.p. showed antidepressant effect as potent as imipramine in forced swimming test in mice.

(**B27**)-JP2004292383 English Language Abstract
Zanthol derivs. from Zanthoxylum piperitum (I; Me(CH2)nCONHCH2R1 wherein R1 = Me, CH2OR2, CR3(CH3)2, etc., with R2 =, H, Me, sugar, R3 = H, OH) are claimed as memory enhancers and health foods. I were extracted from the above plant, and their effects on learning were studied in mouse water maze test.

(**B28**)-JP2007145763 English Language Abstract
Japanese Patent Application number: 2005-342946
Japanese Patent Publication number: 2007-145763
Date of filing: November 28, 2005
Date of publication of application: June 14, 2007
Applicant: TOKYO MEDICAL & DENTAL UNIVERSITY
TOKYO METROPOLITAN ORGANIZATION FOR MEDICAL RESEAR

(**B29**)-JP08151366 English Language Abstract
To obtain a new compound having platelet aggregation-suppressing action, bronchodilatation or vasodepressor activity and useful as an antiplatelet agent, a bronchodilator or an antihypertensive agent. CONSTITUTION: This compound is expressed by formula I (A is a lower alkylene; R is

a lower alkoxycarbonylamino, an alkanoylamino, etc.,; Y is H, nitro, etc., with the proviso that when R is acetylamino and Y is H, A is not ethylene and R is methoxycarbonyl and when Y is H, A is not methylene) or its salt, e.g. methyl 1-hydroxyindole-3-propionate. The compound is obtained by oxidizing 2,3-dihydroindole compound of formula II with an oxidizing agent (preferably combination, etc., of sodium tungstate and hydrogen peroxide). Furthermore, the compound of formula II is obtained by treating, e.g. an indole compound of formula III with sodium cyanoborohydride in acetic acid.

(**B30**)-JP2008207466 English Language Abstract
In the material comprising a support having a heat-sensitive layer containing a leuco dye, a reversible color-developer which causes color change according to cooling rate after heating, and the decoloration accelerator A1R1m(X1R2)nX2R3 (A1 = monovalent heterocycle having ≥1 N atom or monovalent noncyclic amino except NH2; R1-2 = C1-36 hydrocarbylene; R3 = C25-50 hydrocarbyl; X1-2 = divalent group having ≥1 of O, S, CO, NH, SO, SO2; m = 0-1; n = 0-4). The material shows good storage stability under high temperature and moisture conditions, and gives images with high background whiteness and good image erasability

(**B31**)-JP2009001564 English Language Title
ANTI-DEPRESSION OR ANTI-STRESS COMPOSITION

(**B32**)-JP3268073 English Language Abstract
To obtain a result having high resistance to the noises and having no dependence on the processing start position with the small calculation value by collecting the same plane areas in a 3-dimensional space as a segment and at the same time giving the preference to a block having a small standard deviation in terms of an error between the estimated value and the actual value of a flow at execution of a unification test. CONSTITUTION:An area dividing device consists of an initial setting part 1, an unsorted block searching part 2, a block unifying part 3, a segment PHI updating part 4, a segment unifying part 5, a PHI/sigma storage part 6, a segment PHI storage part 7, and a labeling information storage part 8.; Then the same plane areas are collected in a 3-dimensional space as a segment with unification of minor blocks based on an identification standard, i.e., the distance between the flow parameters normalized for each minor block in a parameter space. At the same time, the preference is given to a block having a small standard deviation in terms of an error between the estimated value and the actual value of a flow at execution of a unification test. Thus it is possible to perform the division of an area with the reduced calculation value and with no dependence on a small area that is first selected. Furthermore the influence of the noises is reduced

(**B33**)-JP3795093 English Language Title
New 1-hydroxy-indole deriv. - inhibit platelet aggregation useful as bronchodilators, and antihypertensive drugs

(**B34**)-JP4156825 English Language Title
Agent useful in pharmaceuticals for preventing and treating neurosis, depression, anxiety and schizophrenia, comprises acyl derivative of tryptamine as active ingredient

(B35)-KR2003038383 English Language Abstract

A composition containing an N-acyl derivative of tryptamine which has antidepressant and antistress activity and is obtained from Theobroma cacao L., Annona reticulata and Annona cherimola is provided. The antidepressant and antistress agent acts on the central nervous system of human beings and animals with a high safety. CONSTITUTION: The antidepressant and antistress agent contains a compound of N-acyl derivatives of tryptamine represented by the formula(1), wherein R is C1-29 saturated or unsaturated hydrocarbon, a physiologically acceptable salt, a hydrate or a solvate as an effective ingredient. In particular, R is CH3(ethanoic acid £2-(1H-indol-3-yl) ethyl| amide), C17H33(9-octadecenoic acid £2-(1H-indol-3-yl) ethyl| amide), C21H43(dieicosanoic acid £2-(1H-indol-3-yl) ethyl| amide), C23H47(tetraeicosanoic acid £2-(1H-indol-3-yl) ethyl| amide).

(B37)-SU357508 English Language Abstract

The sample of tested cacao butter was dissolved in CCl4 with the addition of H2O2 and HCl. p-Dimethylaminobenzaldehyde and THF were added, and the absorbance was determined by using a red filter. An indigotin solution (with appropriate absorbance) was used for preparing the calibration curve. The amount of behenic acid tryptamide (μg) in the sample characterized the purity of cacao butter.

(C28)-Buznikov et al. English Language Abstract

A review, with 26 refs., on the main effects of the amides formed between the polyenoic acids arachidonic acid, linolenic acid, stearidonic acid, docosapentaenoic acid, docosahexaenoic acid, eicosapentaenoic acid, 3'-trans-octadecapentaenoic acid, and pinolenic acid and serotonin or dopamine on embryos of opistobranch mollusks, sea urchins and starfish. Both 5-hydroxytryptamides and 3-hydroxytyramides of the polyenoic fatty acids protected the animals against cytostatic antagonists of serotonin and dopamine, resp. They also prevented developmental abnormalities induced by protein kinase C activators. Also, the cytostatic effects of 3-hydroxytyramides were eliminated or prevented by the 5-hydroxytryptamides. These effects quant. depended on the structure of the fatty acids component. Some functionally active regulatory substances similar to 5-hydroxytryptamides and 3-hydroxytyramides may exist in the early embryos.